=> file caplus
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FILE COVERS 1907 - 30 Nov 2005 VOL 143 ISS 23
FILE LAST UPDATED: 29 Nov 2005 (20051129/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

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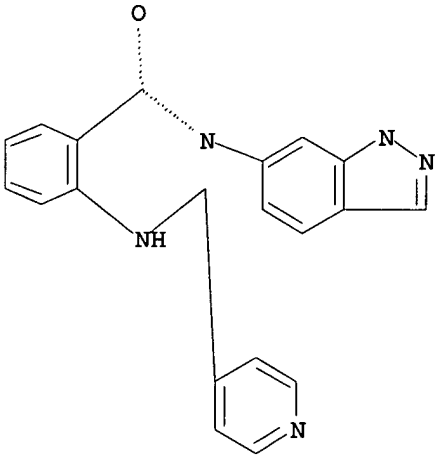
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 19 SEA FILE=REGISTRY SSS FUL L1
L4 5 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:120827 CAPLUS
DOCUMENT NUMBER: 140:181330
TITLE: Preparation of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor-2 and -3 (VEGFR-2 and -3).
INVENTOR(S): Huth, Andreas; Krueger, Martin; Zorn, Ludwig; Ince, Stuart; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin; Hess-Stump, Holger
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013102	A1	20040212	WO 2003-EP7964	20030722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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DE 10328036	A1	20050105	DE 2003-10328036	20030619
CA 2493026	AA	20040212	CA 2003-2493026	20030722
BR 2003013122	A	20050705	BR 2003-13122	20030722
EP 1594841	A1	20051116	EP 2003-740470	20030722
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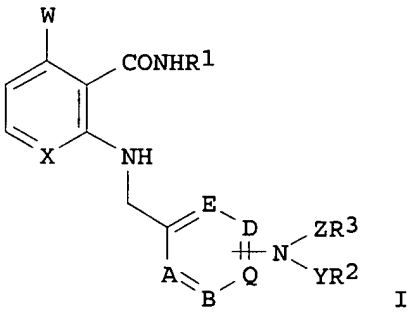
US 2004147535	A1	20040729	US 2003-631018	20030731
US 2005054654	A1	20050310	US 2004-870491	20040618

PRIORITY APPLN. INFO.:
DE 2002-10235690 A 20020731
DE 2003-10328036 A 20030619
US 2003-483896P P 20030702
WO 2003-EP7964 W 20030722

OTHER SOURCE(S): MARPAT 140:181330

GI



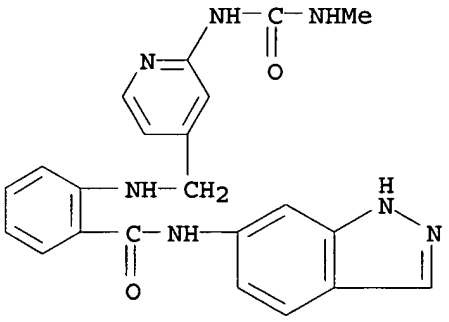
I

AB Title compds. [I; X = CH, N; W = H, F; A, B, D, E, Q = N, C; ≤2 of A, B, D, E, Q = N; R1 = (substituted) aryl, heteroaryl; Y, Z = bond, CO, CS, SO2; R2, R3 = H, CONR9R10, SO2R6, COR11, NR9R10, (substituted) alkyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2YNZAR3 = atoms to form a 3-8 membered (substituted) (unsatd.) ring; R6 = H, alkyl, haloalkyl, (substituted) aryl, heteroaryl, NR9R10; R9, R10 = H, alkyl, alkenyl, aryl, cycloalkyl, etc.; R11 = alkyl, alkoxy, hydroxyalkyl, hydroxyalkoxy, cycloalkyl, (substituted) Ph, pyridyl, biphenyl, naphthyl], were prepared Thus, 2-[(2-bromopyridin-4-ylmethyl)amino]-N-(3-trifluoromethylphenyl)benzamide (preparation given) pyridine, and N,N-dimethylaminoethylamine were heated in a pressure vessel for 5 h at 200° to give 2-[[2-(2-dimethylaminoethylamino)pyridin-4-

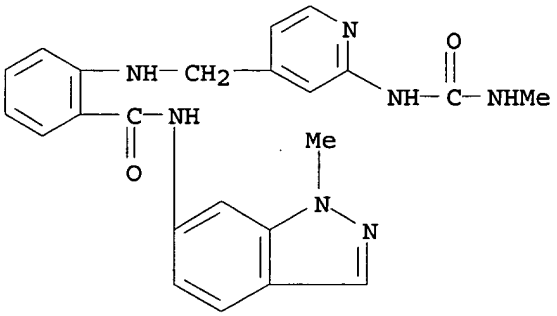
ylmethyl]amino]-N-(3-trifluoromethylphenyl)benzamide. I inhibited VEGFR-2 with IC50 = 8-65 nM. I can be used for treatment of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, hemangioma, angiofibroma, eye disease, renal diseases, transplant rejection, fibrotic diseases, mesangial cell proliferative diseases, atherosclerosis, injuries to nervous tissue and for inhibition of the reocclusion of vessels after balloon catheter treatment, in vessel prosthetics, or after the application of mech. devices to hold open vessels, as immunosuppressants, for scar-free wound healing, age spots and contact dermatitis.

IT **657400-26-5P 657400-27-6P 657400-34-5P**
657400-36-7P 657400-65-2P 657400-69-6P
657400-70-9P 657400-71-0P 657400-85-6P
657400-91-4P 657400-99-2P 657401-00-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor)

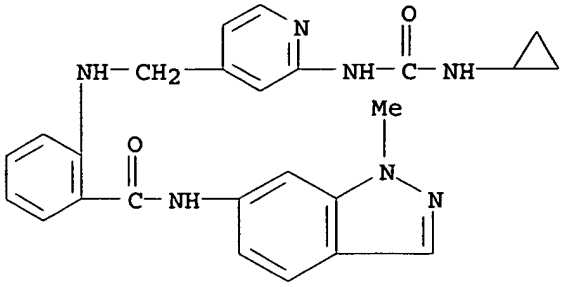
RN 657400-26-5 CAPLUS
CN Benzamide, N-1H-indazol-6-yl-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-27-6 CAPLUS
CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



RN 657400-34-5 CAPLUS
CN Benzamide, 2-[[[2-[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



RN 657400-36-7 CAPLUS
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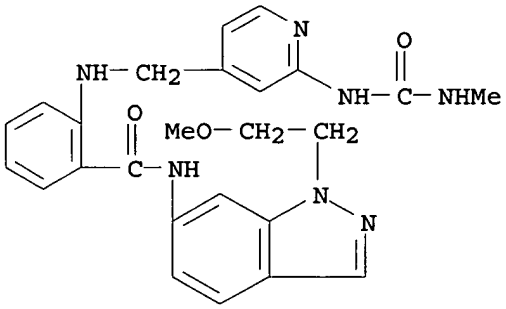
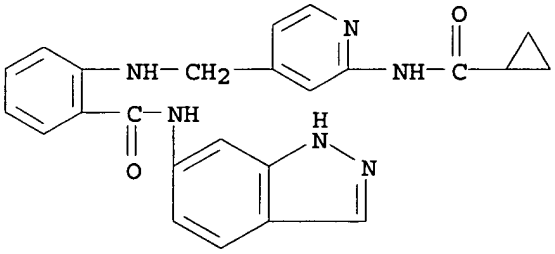
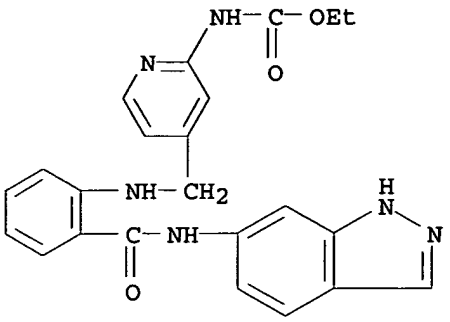


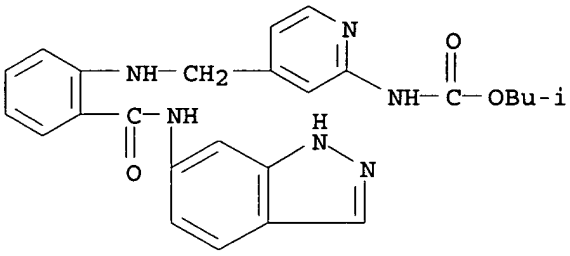
RN 657400-65-2 CAPLUS
CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-1H-indazol-6-yl- (9CI) (CA INDEX NAME)



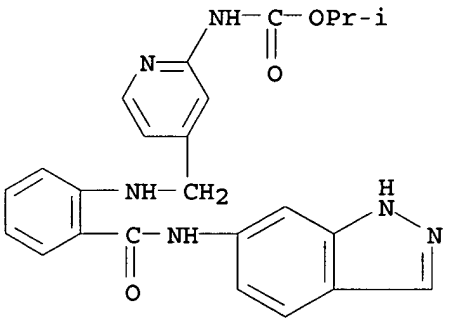
RN 657400-69-6 CAPLUS
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



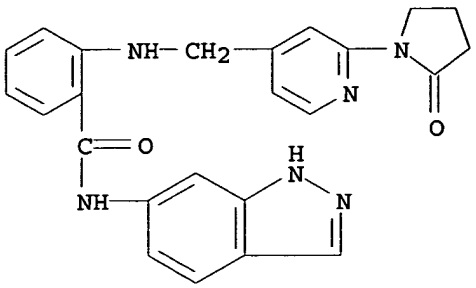
RN 657400-70-9 CAPLUS
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



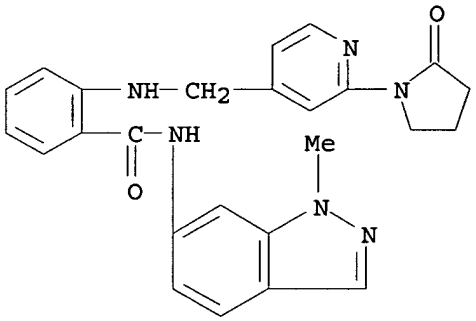
RN 657400-71-0 CAPLUS
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



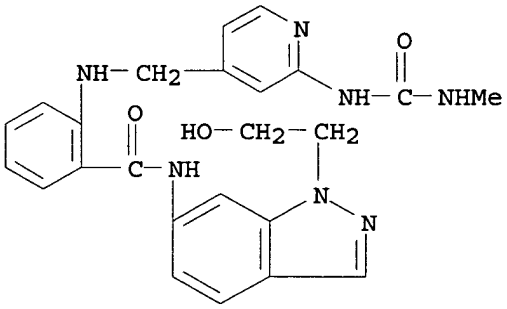
RN 657400-85-6 CAPLUS
CN Benzamide, N-1H-indazol-6-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



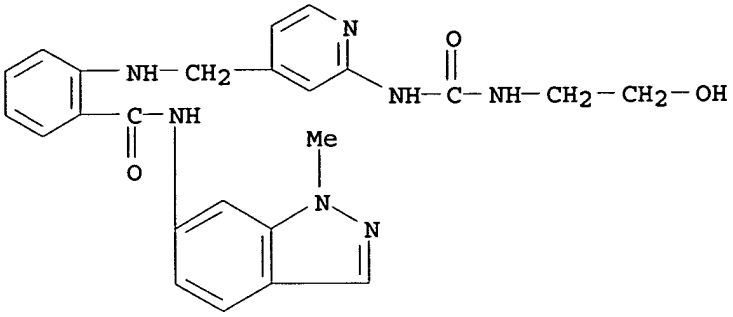
RN 657400-91-4 CAPLUS
CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-99-2 CAPLUS
CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657401-00-8 CAPLUS
CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:868928 CAPLUS
DOCUMENT NUMBER: 137:352900
TITLE: Selective anthranilamide pyridine amides as inhibitors of VEGFR-2 and VEGFR-3
INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090352	A2	20021114	WO 2002-EP4924	20020503
WO 2002090352	A3	20030501		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10123574	A1	20021128	DE 2001-10123574	20010508
DE 10125294	A1	20021121	DE 2001-10125294	20010515
DE 10164590	A1	20030710	DE 2001-10164590	20011221
CA 2453223	AA	20021114	CA 2002-2453223	20020503
EP 1392680	A2	20040303	EP 2002-735333	20020503
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BR 2002009485	A	20040706	BR 2002-9485	20020503
CN 1518546	A	20040804	CN 2002-809580	20020503
JP 2004528379	T2	20040916	JP 2002-587431	20020503
US 2004254185	A1	20041216	US 2004-477119	20040623
PRIORITY APPLN. INFO.:			DE 2001-10123574 A	20010508
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			WO 2002-EP4924 W	20020503

OTHER SOURCE(S): MARPAT 137:352900
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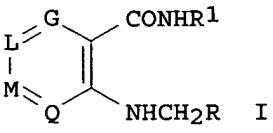

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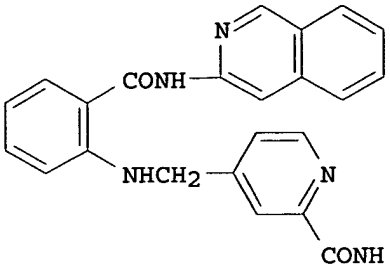


II

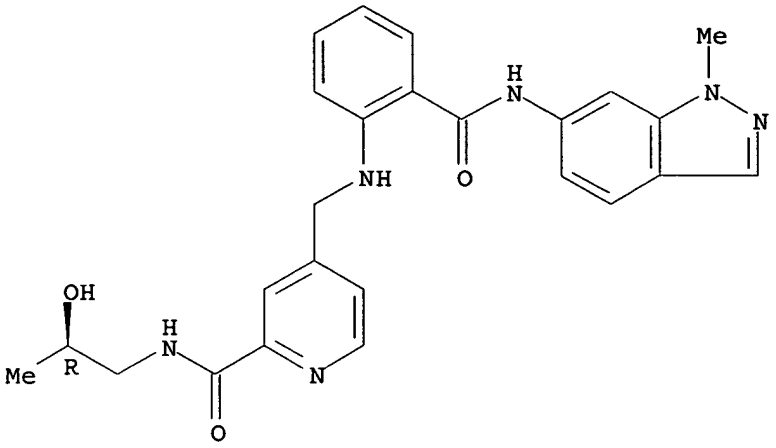
AB Title compds. I [G, L, M, Q = N, (un)substituted CH, ≤1 of them being N; R = (un)substituted N heterocycle; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl] were prepared I are inhibitors of VEGFR-2 and VEGFR-3 and are used as medicaments for treating diseases that are caused by persistent angiogenesis, such as psoriasis, Kaposi's sarcoma, restenosis, such as e.g. stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibromatosis, in eye diseases such as diabetic retinopathy, neovascular glaucoma, in kidney diseases such as glomerulonephritis, diabetic nephropathy, malign nephrosclerosis, thrombic micro-angiopathic syndrome, transplant rejection and glomerulopathy, in fibrotic diseases such as hepatic cirrhosis, mesangial-cell proliferative diseases, arteriosclerosis, damage to the nerve tissue and inhibition of the re-occlusion of vessels after balloon catheter treatment, in vessel prosthetics or after the use of mech. devices for keeping vessels open, e.g. stents, as immunosuppressants, to support wound healing without scars and in cases of age spots and contact dermatitis. I can also be used as inhibitors of VEGFR-3 in lymphangiogenesis for hyperplastic and dysplastic changes in the lymphatic system. Thus, 2-amino-N-isoquinolin-3-ylbenzamide was treated with 2-bromo-5-pyridinecarboxaldehyde, followed by carboxylaton and amidation to give the amide II. II had IC50 for inhibition of VEGFR-2 of 40 nM and for inhibition of cytochrome 450 isoenzyme 2C9 of 2.9 μM.

IT **474798-92-0P 474798-93-1P 474798-94-2P 474798-96-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474798-92-0 CAPLUS

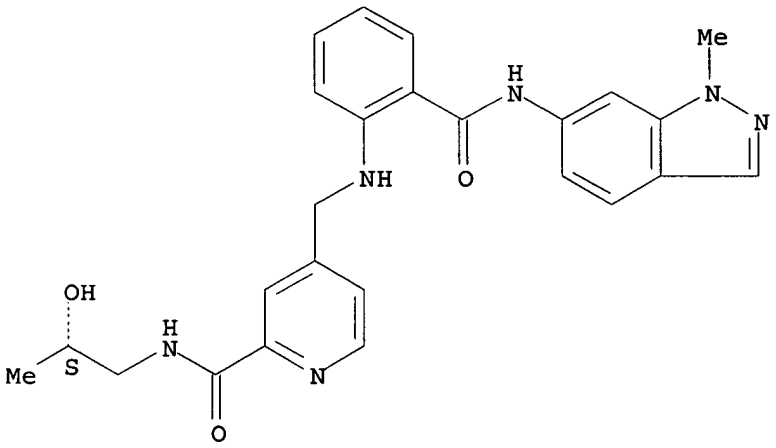
CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



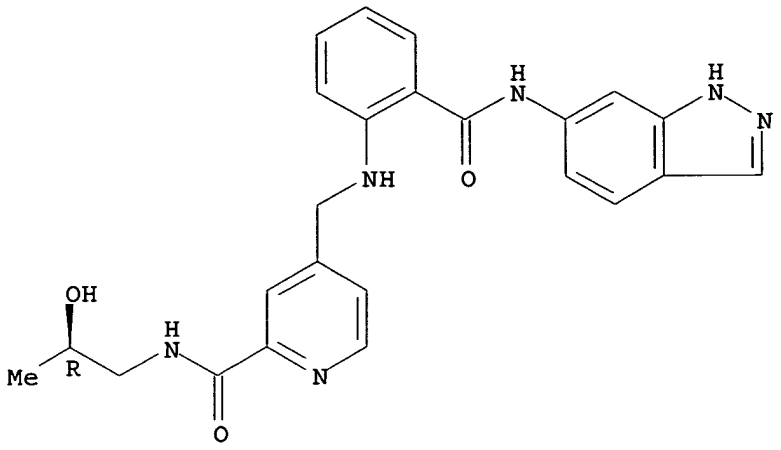
RN 474798-93-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



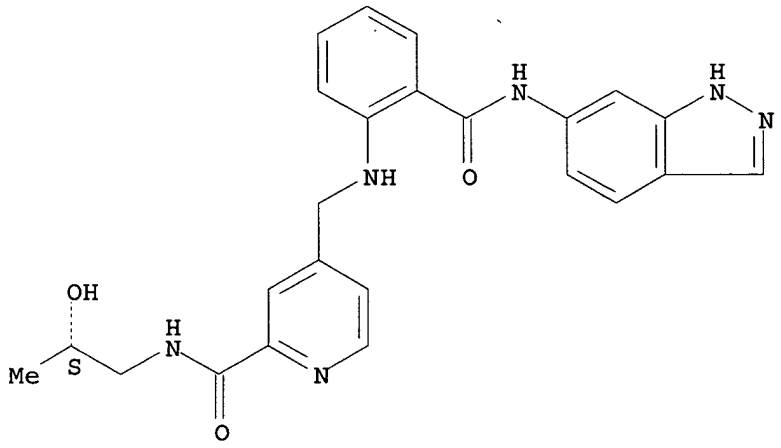
RN 474798-94-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 474798-96-4 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:868925 CAPLUS
DOCUMENT NUMBER: 137:352899
TITLE: Pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase
INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090349	A1	20021114	WO 2002-EP4923	20020503

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10123573	A1	20021128	DE 2001-10123573	20010508
DE 10123573	B4	20050602		
DE 10125293	A1	20021121	DE 2001-10125293	20010515
EP 1389201	A1	20040218	EP 2002-740563	20020503
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JP 2004528378	T2	20040916	JP 2002-587429	20020503
US 2005032816	A1	20050210	US 2004-476755	20040624

PRIORITY APPLN. INFO.: DE 2001-10123573 A 20010508
DE 2001-10125293 A 20010515
WO 2002-EP4923 W 20020503

OTHER SOURCE(S): MARPAT 137:352899

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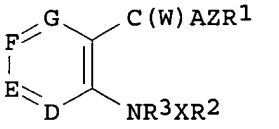

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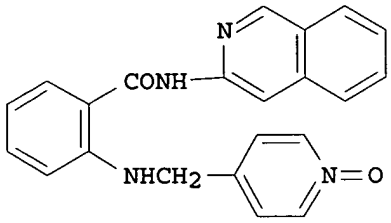


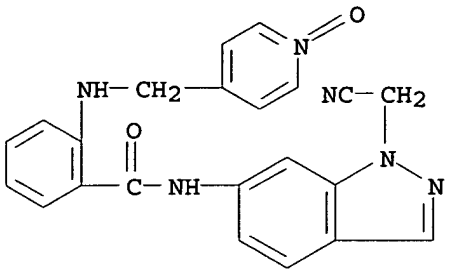
II

AB Title compds. I [D, E, F, G = N, (un)substituted CH; A = (un)substituted NH; W = O, S, H2, (un)substituted NH; X, Z = (un)substituted alkylene; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2 = (un)substituted hetaryl N-oxide; R3 = H, alkyl] were prepared These compds. can be used in the treatment of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma, eye diseases, such as diabetic retinopathy, neovascular glaucoma, renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndromes, transplant rejections and glomerulopathy, fibrotic diseases such as cirrhosis of the liver, mesangial cell-proliferative diseases, arteriosclerosis, injuries of the nerve tissue, and for inhibiting the reocclusion of vessels after balloon catheter treatment, for use in vascular prosthetics or after inserting mech. devices for holding vessels open such as, e.g. stents, as immunosuppressants, as an aid in scar-free wound healing, and for treating age spots and contact dermatitis. They can also be used as VEGFR-3 inhibitors in lymphangiogenesis. Thus, the N-oxide II was obtained by reductive alkylation of 2-amino-N-isoquinolin-3-ylbenzamide with isonicotinaldehyde N-oxide and had IC50 for inhibition of VEGFR II of 0.03 μM.

IT **474760-11-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase)

RN 474760-11-7 CAPLUS

CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



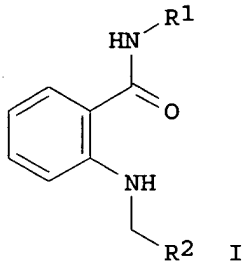
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:833307 CAPLUS
DOCUMENT NUMBER: 136:53680
TITLE: Preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT.
INVENTOR(S): Krueger, Martin; Huth, Andreas; Petrov, Orlin; Seidelmann, Dieter; Thierauch, Karl-Heinz; Haberey, Martin; Menrad, Andreas; Ernst, Alexander
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085719	A1	20011115	WO 2001-EP5214	20010508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10023486	C1	20020314	DE 2000-10023486	20000509
CA 2407852	AA	20011115	CA 2001-2407852	20010508
EP 1280799	A1	20030205	EP 2001-940416	20010508
EP 1280799	B1	20040121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010621	A	20030325	BR 2001-10621	20010508
JP 2003532725	T2	20031105	JP 2001-582320	20010508
AT 258174	E	20040215	AT 2001-940416	20010508
EE 200200625	A	20040415	EE 2002-625	20010508
PT 1280799	T	20040630	PT 2001-940416	20010508
ES 2214424	T3	20040916	ES 2001-1940416	20010508
NZ 521700	A	20050930	NZ 2001-521700	20010508
RU 2264399	C2	20051120	RU 2002-131887	20010508
NO 2002005358	A	20021108	NO 2002-5358	20021108
BG 107261	A	20030630	BG 2002-107261	20021108

ZA 2002009896	A	20040305	ZA 2002-9896		20021205
US 2004102441	A1	20040527	US 2003-275480		20030624
PRIORITY APPLN. INFO.:			DE 2000-10023486	A	20000509
			WO 2001-EP5214	W	20010508

OTHER SOURCE(S): MARPAT 136:53680
GI

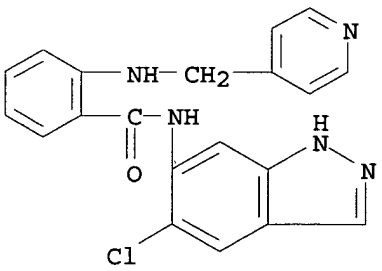


I

AB Title compds. [I; R1 = (substituted) oxobenzopyranyl, quinolinyl, Ph, isoquinolinyl, benzimidazolyl, etc.; R2 = pyridyl, 2-oxopyridyl, 2-hydroxypyridyl; R3 = H, F], were prepared Thus, N-(2-oxo-2H-1-benzopyran-3-yl)-2-aminobenzamide (preparation given) was stirred with 4-pyridinecarboxaldehyde in AcOH/MeOH; NaBH3CN was added to give N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridyl)methyl]aminobenzamide. The latter inhibited KDR with IC50 = 0.003 μM.

IT **381694-76-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT)

RN 381694-76-4 CAPLUS
CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:335387 CAPLUS
DOCUMENT NUMBER: 132:334364
TITLE: Preparation of anthranilic acid amides as vascular endothelial growth factor receptor inhibitors.
INVENTOR(S): Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido; Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan, Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin;

Ottow, Eckhard; Menrad, Andreas; Schirner, Michael

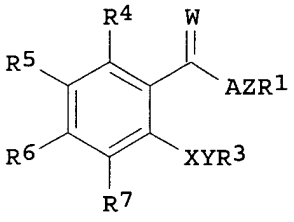
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany; Novartis Aktiengesellschaft
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027819	A2	20000518	WO 1999-EP8478	19991109
WO 2000027819	A3	20000817		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19910396	A1	20000907	DE 1999-19910396	19990303
DE 19910396	C2	20011213		
CA 2350208	AA	20000518	CA 1999-2350208	19991109
BR 9915553	A	20010814	BR 1999-15553	19991109
EP 1129074	A2	20010905	EP 1999-953967	19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101307	T2	20020521	TR 2001-200101307	19991109
JP 2002529452	T2	20020910	JP 2000-580999	19991109
EE 200100258	A	20021216	EE 2001-258	19991109
NZ 511413	A	20040130	NZ 1999-511413	19991109
AU 771180	B2	20040318	AU 2000-10454	19991109
NO 2001002245	A	20010710	NO 2001-2245	20010507
BG 105588	A	20020430	BG 2001-105588	20010611
HK 1041882	A1	20050318	HK 2002-103628	20020514

PRIORITY APPLN. INFO.: GB 1998-24579 A 19981110
DE 1999-19910396 A 19990303
WO 1999-EP8478 W 19991109

OTHER SOURCE(S): MARPAT 132:334364

GI



I

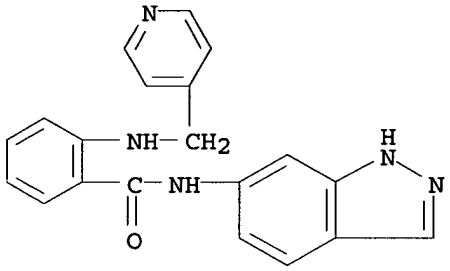
AB Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q, alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinolinyl, indazolyl, 5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl; R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H, alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (preparation given) was stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give

N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter inhibited VEGFR I with IC50 = 0.05 μM.

IT 267891-75-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 CAPLUS

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:50:29 ON 30 NOV 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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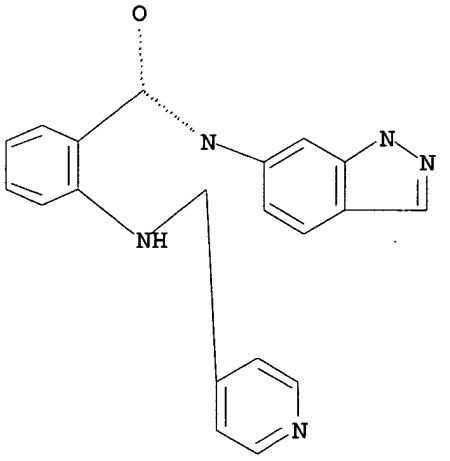
L1 STR



Structure attributes must be viewed using STN Express query preparation.
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L5 10 SEA L3

=> d l5 1-10 ibib abs hitstr

L5 ANSWER 1 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2005:63612 USPATFULL
TITLE: VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridines
INVENTOR(S): Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Zorn, Ludwig, Berlin, GERMANY, FEDERAL REPUBLIC OF
Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Ince, Stuart, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Hess-Stumpp, Holger, Berlin, GERMANY, FEDERAL REPUBLIC OF

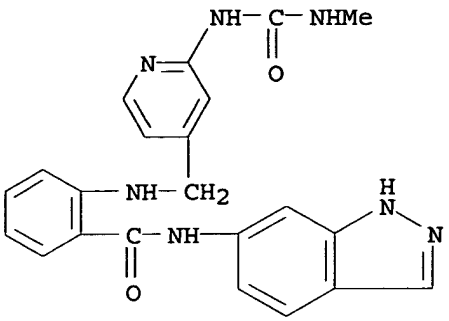
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005054654	A1	20050310	
APPLICATION INFO.:	US 2004-870491	A1	20040618	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	DE 2002-10235690	20020731	
	US 2003-483896P	20030702	(60)

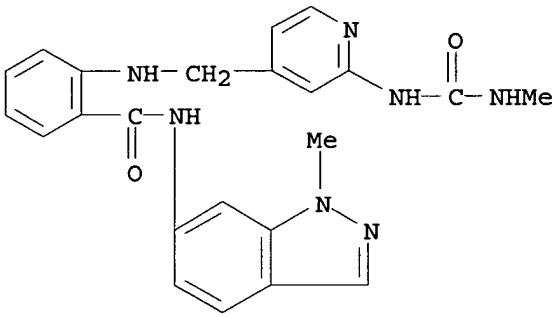
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 1593
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridinamides, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis, as well as intermediate products for the production of the compounds are described. The compounds according to the invention can be used as or in the case of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver; mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

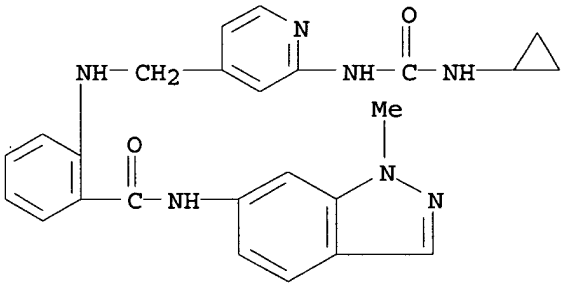
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **657400-26-5P 657400-27-6P 657400-34-5P**
657400-36-7P 657400-65-2P 657400-69-6P
657400-70-9P 657400-71-0P 657400-85-6P
657400-91-4P 657400-99-2P 657401-00-8P
(preparation of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor)
RN 657400-26-5 USPATFULL
CN Benzamide, N-1H-indazol-6-yl-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



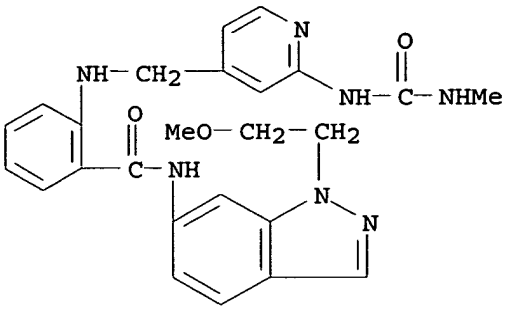
RN 657400-27-6 USPATFULL
CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



RN 657400-34-5 USPATFULL
CN Benzamide, 2-[[[2-[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



RN 657400-36-7 USPATFULL
CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-65-2 USPATFULL
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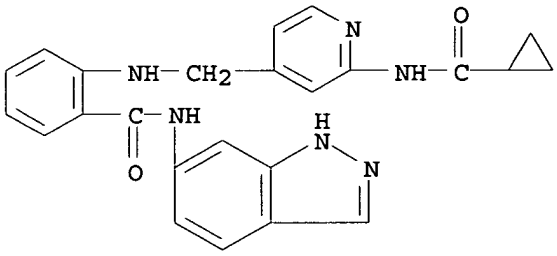
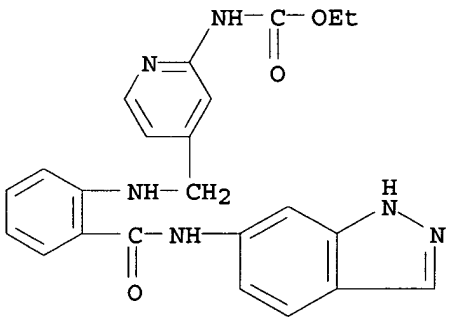
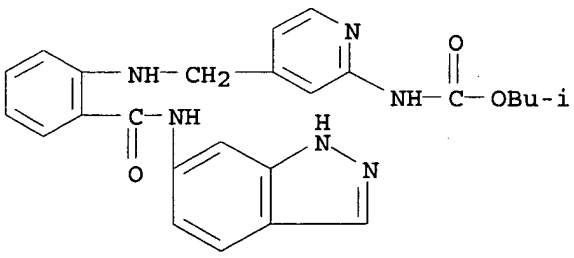


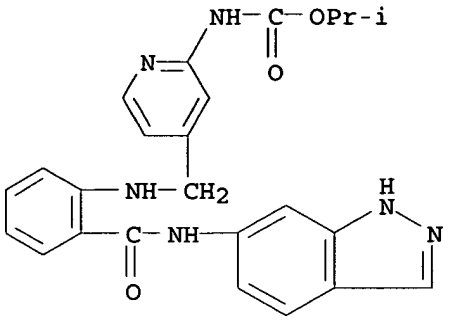
RN 657400-69-6 USPATFULL
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



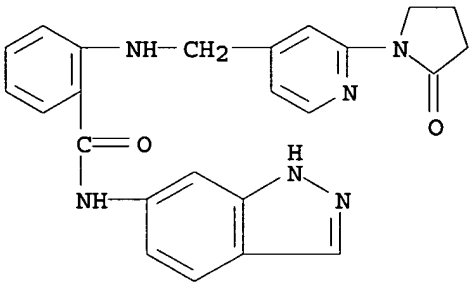
RN 657400-70-9 USPATFULL
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



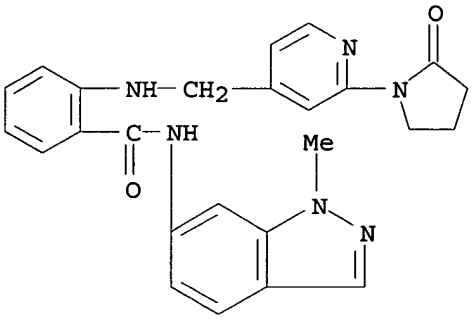
RN 657400-71-0 USPATFULL
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



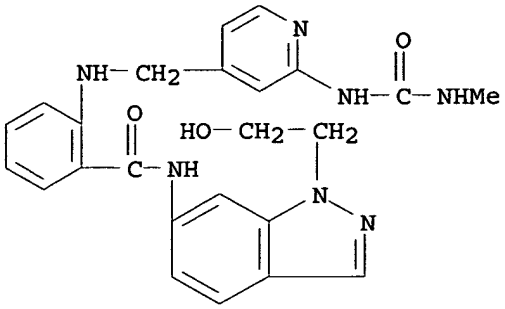
RN 657400-85-6 USPATFULL
CN Benzamide, N-1H-indazol-6-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



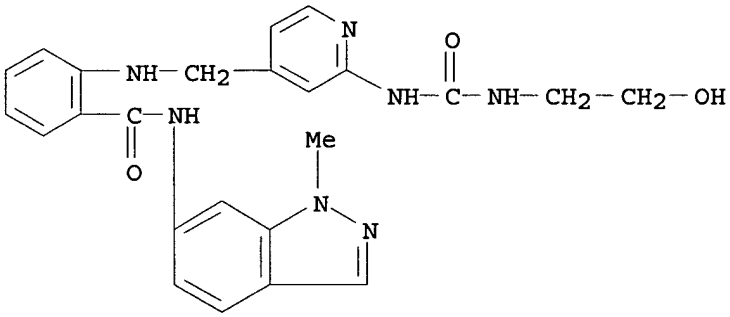
RN 657400-91-4 USPATFULL
CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-99-2 USPATFULL
CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657401-00-8 USPATFULL
CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 10 USPATFULL on STN
ACCESSION NUMBER: 2005:38136 USPATFULL
TITLE: N-oxide anthranylamide derivatives and their use as medicaments
INVENTOR(S): Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heniz, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF

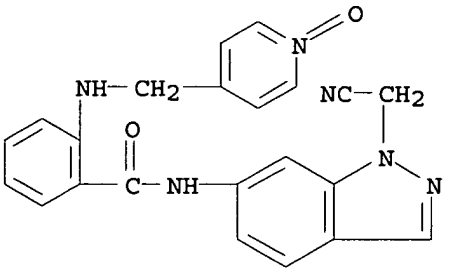
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005032816	A1	20050210	
APPLICATION INFO.:	US 2004-476755	A1	20040624	(10)
	WO 2002-EP4923		20020503	

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2001-123573	20010508
	DE 2001-125293	20010515

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1

LINE COUNT: 1067
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Substituted N-oxidanthranilamide derivatives, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis are described. The compounds according to the invention can be used as or in the case of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **474760-11-7P**
(preparation of pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase)
RN 474760-11-7 USPATFULL
CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 10 USPATFULL on STN
ACCESSION NUMBER: 2004:321530 USPATFULL
TITLE: Selective anthranilamide pyridine amides as inhibitors of vegfr-2 and vegfr-3
INVENTOR(S): Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004254185	A1	20041216	
APPLICATION INFO.:	US 2004-477119	A1	20040623	(10)
	WO 2002-EP4924		20020503	

	NUMBER	DATE

PRIORITY INFORMATION:	DE 2001-123574	20010508
	DE 2001-125294	20010515
	DE 2001-164590	20011221
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201	
NUMBER OF CLAIMS:	12	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2153	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Selective anthranilamide pyridinamides as VEGFR-2 and VEGFR-3 inhibitors, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis are described. The compounds according to the invention can be used as or in the case of psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis in hyper- and dysplastic changes of the lymphatic system.

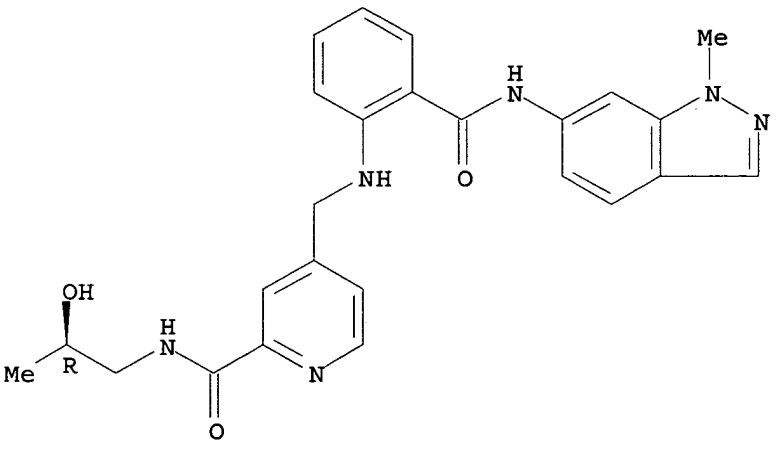
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **474798-92-0P 474798-93-1P 474798-94-2P**
474798-96-4P
(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474798-92-0 USPATFULL

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

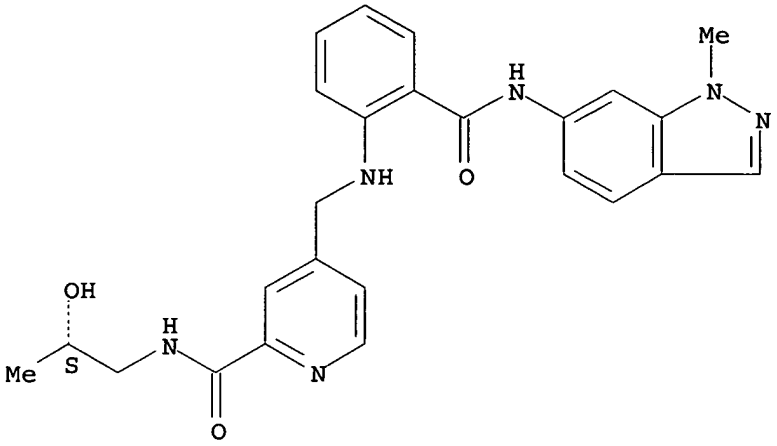
Absolute stereochemistry.



RN 474798-93-1 USPATFULL

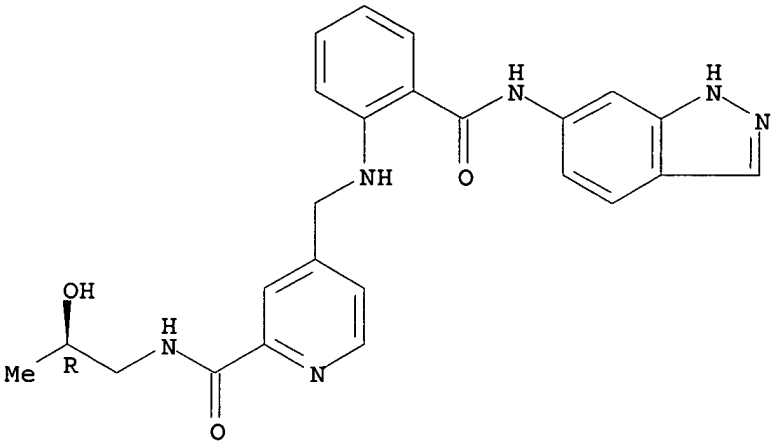
CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



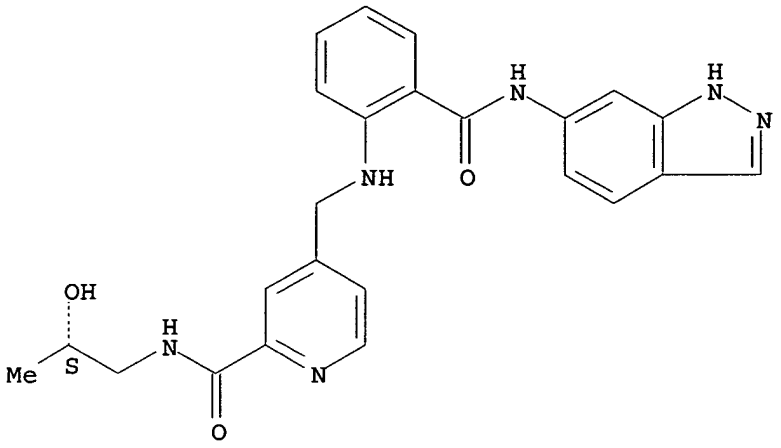
RN 474798-94-2 USPATFULL
CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 474798-96-4 USPATFULL
CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 10 USPATFULL on STN

ACCESSION NUMBER:	2004:255252 USPATFULL
TITLE:	N-aryl (THIO) anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors
INVENTOR(S):	Altmann, Karl-Heinz, Reinach, SWITZERLAND Bold, Guido, Gipf-Oberfrick, SWITZERLAND Furet, Pascal, Thann, FRANCE Manley, Paul William, Arlesheim, SWITZERLAND Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND Ferrari, Stefano, Muttenz, SWITZERLAND Hofmann, Francesco, Bottmingen, SWITZERLAND Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004198782	A1	20041007
APPLICATION INFO.:	US 2004-828951	A1	20040421 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2002-180289, filed on 26 Jun 2002, PENDING Division of Ser. No. US 2001-850434, filed on 7 May 2001, GRANTED, Pat. No. US 6448277 Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN

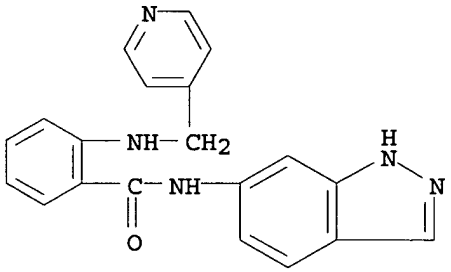
	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	NOVARTIS, CORPORATE INTELLECTUAL PROPERTY, ONE HEALTH PLAZA 430/2, EAST HANOVER, NJ, 07936-1080
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1

LINE COUNT: 2629
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB ##STR1##

Described are compunds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10--(CH.sub.2).sub.n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.2 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y=SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **267891-75-8P**
(preparation of anthranilic acid amides as VEGF receptor inhibitors)
RN 267891-75-8 USPATFULL
CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 10 USPATFULL on STN
ACCESSION NUMBER: 2004:190762 USPATFULL
TITLE: VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridines
INVENTOR(S): Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Zorn, Ludwig, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Ince, Stuart, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Hess-Stumpp, Holger, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004147535	A1	20040729	
APPLICATION INFO.:	US 2003-631018	A1	20030731	(10)

	NUMBER	DATE

PRIORITY INFORMATION:	DE 2002-10235690 20020731
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201
NUMBER OF CLAIMS:	11
EXEMPLARY CLAIM:	1
LINE COUNT:	1615

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

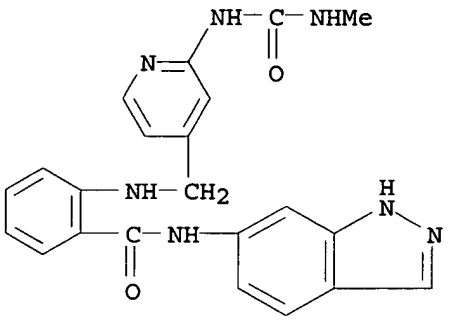
AB VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridinamides, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis, as well as intermediate products for the production of the compounds are described. The compounds according to the invention can be used as or in the case of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **657400-26-5P 657400-27-6P 657400-34-5P**
657400-36-7P 657400-65-2P 657400-69-6P
657400-70-9P 657400-71-0P 657400-85-6P
657400-91-4P 657400-99-2P 657401-00-8P
(preparation of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor)

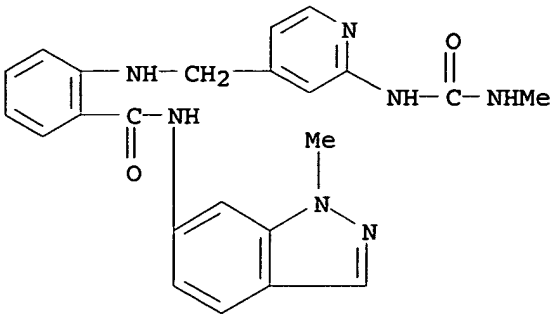
RN 657400-26-5 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

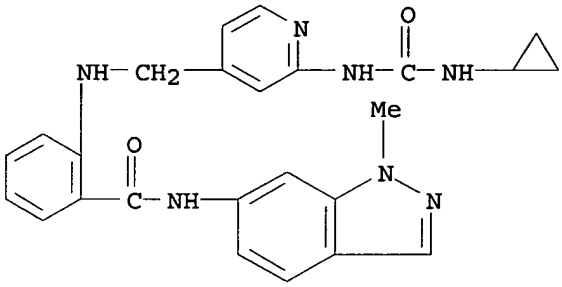


RN 657400-27-6 USPATFULL

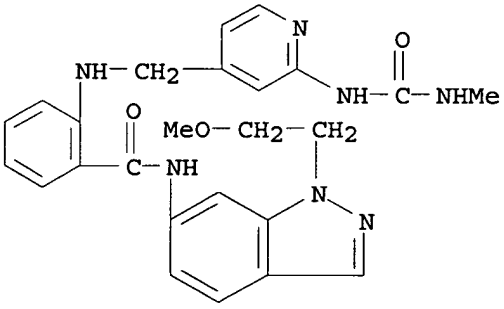
CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



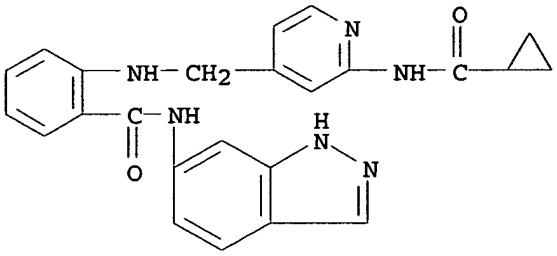
RN 657400-34-5 USPATFULL
CN Benzamide, 2-[[[2-[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



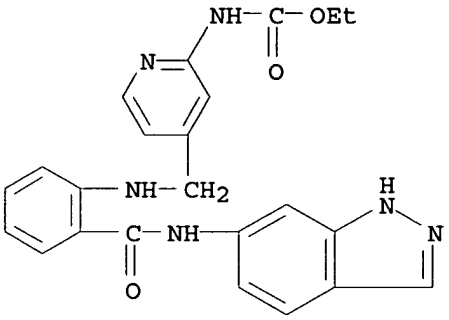
RN 657400-36-7 USPATFULL
CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



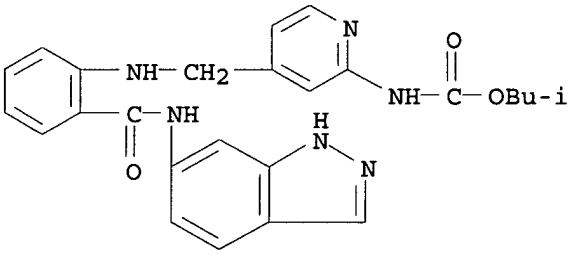
RN 657400-65-2 USPATFULL
CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-1H-indazol-6-yl- (9CI) (CA INDEX NAME)



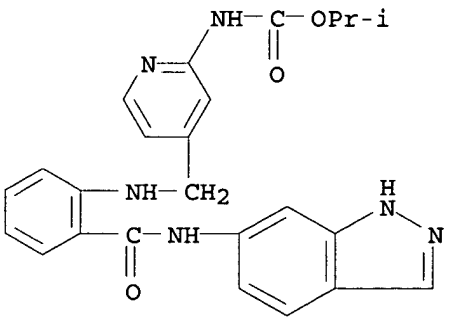
RN 657400-69-6 USPATFULL
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



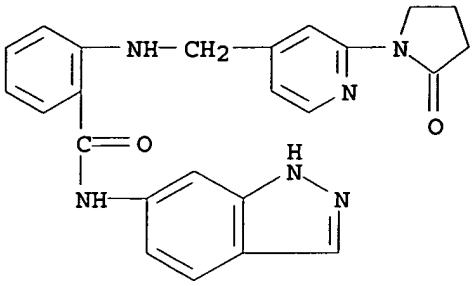
RN 657400-70-9 USPATFULL
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



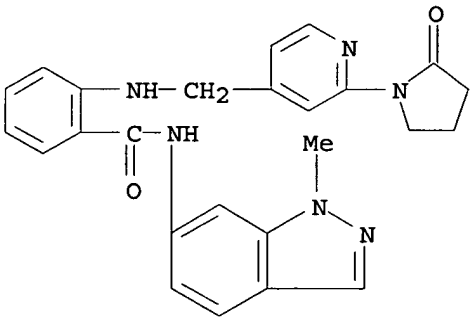
RN 657400-71-0 USPATFULL
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



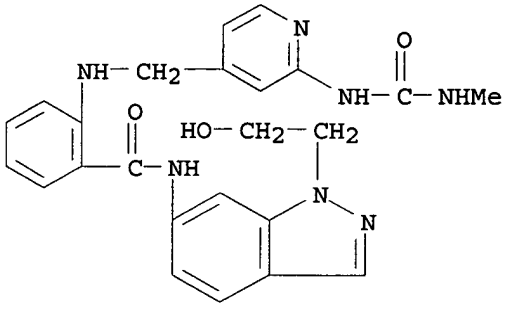
RN 657400-85-6 USPATFULL
CN Benzamide, N-1H-indazol-6-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



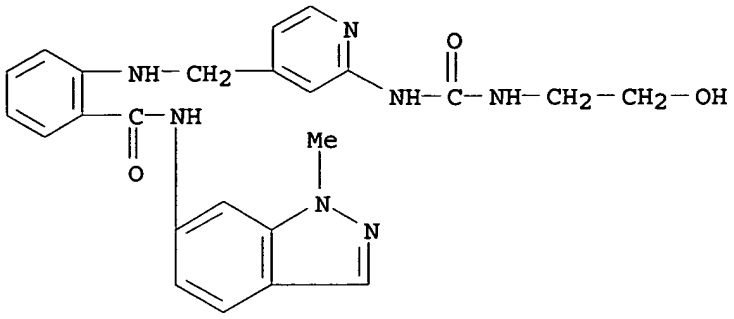
RN 657400-91-4 USPATFULL
CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-99-2 USPATFULL
CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657401-00-8 USPATFULL
CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 10 USPATFULL on STN

ACCESSION NUMBER:	2004:133904 USPATFULL
TITLE:	Ortho-substituted anthranilic acid amides and their use as medicaments
INVENTOR(S):	Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF Petrov, Orlin, Berlin, GERMANY, FEDERAL REPUBLIC OF Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004102441	A1	20040527	
APPLICATION INFO.:	US 2003-275480	A1	20030624	(10)
	WO 2001-EP5214		20010508	

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2000-10023486	20000509

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201
NUMBER OF CLAIMS:	7
EXEMPLARY CLAIM:	1
LINE COUNT:	602

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

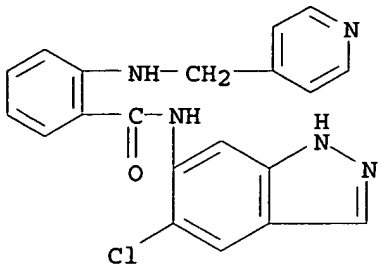
AB Ortho-substituted anthranilic acid amides and use thereof as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis are described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **381694-76-4P**
(preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT)

RN 381694-76-4 USPATFULL

CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 10 USPATFULL on STN

ACCESSION NUMBER:	2003:93631 USPATFULL
TITLE:	N-aryl (thio) anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors
INVENTOR(S):	Altmann, Karl-Heinz, Reinach, SWITZERLAND Bold, Guido, Gipf-Oberfrick, SWITZERLAND Furet, Pascal, Thann, FRANCE Manley, Paul William, Arlesheim, SWITZERLAND Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND Ferrari, Stefano, Muttenz, SWITZERLAND Hofmann, Francesco, Bottmingen, SWITZERLAND Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003064992	A1	20030403
	US 6878720	B2	20050412
APPLICATION INFO.:	US 2002-180289	A1	20020626 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-850434, filed on 7 May 2001, GRANTED, Pat. No. US 6448277 A 371 of International Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1
LINE COUNT:	2632

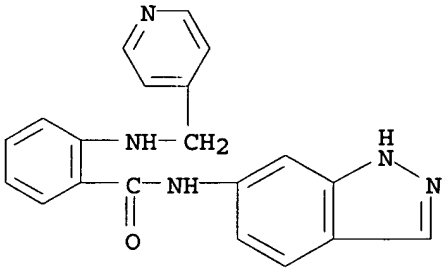
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

Described are compunds of formula (I), wherein W is O or S; X is

NR.sub.8; Y is CR.sub.9R.sub.10--(CH.sub.2)n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y=SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **267891-75-8P**
(preparation of anthranilic acid amides as VEGF receptor inhibitors)
RN 267891-75-8 USPATFULL
CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 10 USPATFULL on STN
ACCESSION NUMBER: 2002:32592 USPATFULL
TITLE: N-aryl(thio)anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors
INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND
Bold, Guido, Gipf-Oberfrick, SWITZERLAND
Furet, Pascal, Thann, FRANCE
Manley, Paul William, Arlesheim, SWITZERLAND
Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND
Ferrari, Stefano, Muttenz, SWITZERLAND
Hofmann, Francesco, Bottmingen, SWITZERLAND
Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE

PATENT INFORMATION:	US 2002019414	A1	20020214
	US 6448277	B2	20020910
APPLICATION INFO.:	US 2001-850434	A1	20010507 (9)

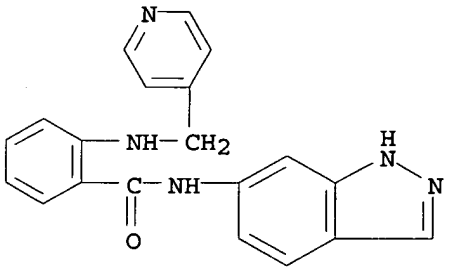
RELATED APPLN. INFO.: Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 2620
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB ##STR1##

Described are compounds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10-(CH.sub.2)n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y.dbd.SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **267891-75-8P**
(preparation of anthranilic acid amides as VEGF receptor inhibitors)
RN 267891-75-8 USPATFULL
CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 10 USPAT2 on STN
ACCESSION NUMBER: 2003:93631 USPAT2
TITLE: VEGF receptor tyrosine kinase inhibitors

10/631,018

INVENTOR(S):	Altmann, Karl-Heinz, Reinach, SWITZERLAND Bold, Guido, Gipf-Oberfrick, SWITZERLAND Furet, Pascal, Thann, FRANCE Manley, Paul William, Arlesheim, SWITZERLAND Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND Ferrari, Stefano, Muttenz, SWITZERLAND Hofmann, Francesco, Bottmingen, SWITZERLAND Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S):	Novartis AG, Basel, SWITZERLAND (non-U.S. corporation) Schering Aktiengesellschaft, Berlin, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6878720	B2	20050412
APPLICATION INFO.:	US 2002-180289		20020626 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 850434, Pat. No. US 6448277 A 371 of International Ser. No. WO 1999-EP8545, filed on 8 Nov 1999		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Desai, Rita
LEGAL REPRESENTATIVE:	McNally, Lydia T., Dohmann, George R.
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT:	2293

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Described are compunds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10--(CH.sub.2)n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a bicyclic heteroaryl group comprising one ring nitrogen atom with the exception that R.sub.2 cannot represent 2-phthalimidyl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration. ##STR1##

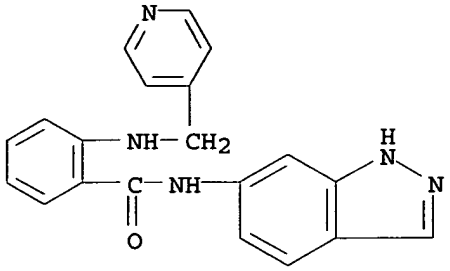
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **267891-75-8P**
(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 USPAT2

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA

INDEX NAME)



L5 ANSWER 10 OF 10 USPAT2 on STN
ACCESSION NUMBER: 2002:32592 USPAT2
TITLE: VEGF receptor tyrosine kinase inhibitors
INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND
Bold, Guido, Gipf-Oberfrick, SWITZERLAND
Furet, Pascal, Thann, FRANCE
Manley, Paul William, Arlesheim, SWITZERLAND
Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND
Ferrari, Stefano, Muttenz, SWITZERLAND
Hofmann, Francesco, Bottmingen, SWITZERLAND
Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF
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Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): Novartis AG, Basel, SWITZERLAND (non-U.S. corporation)
Schering Aktiengesellschaft, Berlin, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6448277	B2	20020910
APPLICATION INFO.:	US 2001-850434		20010507 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov 1999

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-24579	19981110

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Desai, Rita
LEGAL REPRESENTATIVE: Dohmann, George R.
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2510
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Described are compounds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10--(CH.sub.2)n wherein R.sub.9 and

R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y=SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration. ##STR1##

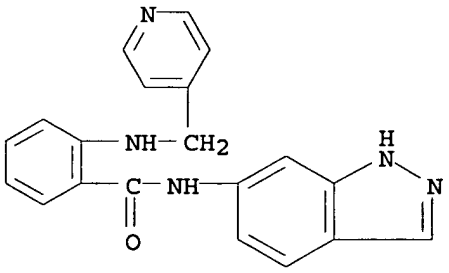
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **267891-75-8P**

(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 USPAT2

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



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